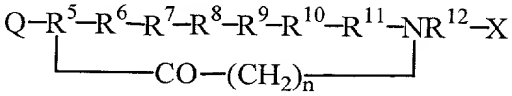
THE CLAIMS

What is claimed is:

1. A backbone cyclized somatostatin analog that incorporates at least one building unit, said building unit containing one nitrogen atom of the peptide backbone connected to a bridging group comprising an amide, thioether, thioester, or disulfide, wherein the at least one building unit is connected via the bridging group to form a cyclic structure with a moiety selected from the group consisting of a second building unit, the side chain of an amino acid residue of the sequence or the N-terminal amino acid residue.

2. The backbone cyclized somatostatin analog of claim 1 having the general formula 7:



Formula No. 7

wherein n is 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

Q is hydrogen or a mono- or di- saccharide

R^5 is gamma amino butyric acid, diamino butyric acid, Gly, β-Ala, 5-amino pentanoic acid or amino hexanoic acid;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp, (D)- or (L)-Phe, (D)- or (L)-1Nal, (D)- or (L)-2Nal, or Tyr;

R^8 is (D)- or (L)-Trp;

R^9 is (D)- or (L)-Lys;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe, (D)- or (L)-Ala, Nle, or Cys; and

R^{12} is Gly, Val, Leu, (D)- or (L)-Phe, 1Nal, or 2Nal.

3. The backbone cyclized somatostatin analog of claim 2 wherein:

Q is hydrogen;

R^5 is GABA;

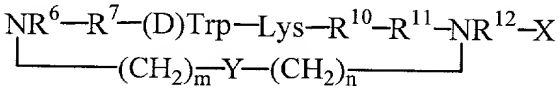
R^6 is Phe;

R^7 is Trp;

R^8 is (D)-Trp;
R^9 is Lys;
R^{10} is Thr;
R^{11} is Phe;
R^{12} is Gly;
n is 3; and
X is an amide.

4. The backbone cyclized somatostatin analog of claim 2 wherein:
Q is galactose;
R^5 is Dab;
R^6 is Phe;
R^7 is (L)-Trp;
R^8 is (D)-Trp;
R^9 is Lys;
R^{10} is Thr;
R^{11} is Phe;
R^{12} is Gly;
n is 3; and
X is an amide.

5. The backbone cyclized somatostatin analog of claim 1 having the general formula 8:

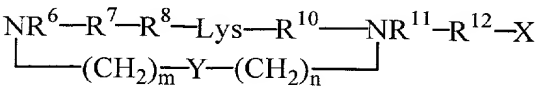


Formula No. 8

wherein: m and n are 1 to 5
X designates a terminal carboxy acid, amide or alcohol group;
R^6 is(D)- or (L)-Phe, or (D)- or (L)-Ala;
R^7 is Tyr, (D)- or (L)- Ala, or (D)- or (L)- Phe;
R^{10} is Thr, Val, Ser, or Cys;
R^{11} is Val, (D)- or (L)-1Nal, (D)- or (L)-2Nal, or (D) or (L)-Phe;
R^{12} is Gly, (D)- or (L)-Ala, or (D) or (L)-Phe; and
Y^2 is amide, thioether, thioester or disulfide.

6. The backbone cyclized somatostatin analog of claim 5 wherein:
R^6 is (D)- or (L)-Phe;
R^7 is Tyr or Phe;
R^{10} is Thr, Val or Ser;
R^{11} is Val, 1Nal, or 2Nal;
R^{12} is Gly; and
Y is amide.

7. The backbone cyclized somatostatin analog of claim 1 having the general formula 9:

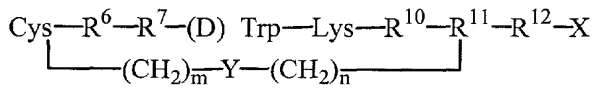


Formula No. 9

wherein: m and n are 1 to 5
X designates a terminal carboxy acid, amide or alcohol group;
R^6 is(D)- or (L)-Phe, or (D)- or (L)-Ala;
R^7 is Tyr or (D)- or (L)- Phe;
R^8 is (D)- or (L)- Trp, (D)- or (L)- 1Nal, or (D)- or (L)- 2Nal;
R^{10} is Thr, Val, Ser, or Cys;
R^{11} is Gly or (D) or (L)-Phe;
R^{12} is Thr, GABA, (D)- or (L)- 1Nal, (D)- or (L)- 2Nal, or (D) or (L)-Phe; and
Y is amide, thioether, thioester or disulfide.

8. The backbone cyclized somatostatin analog of claim 7 wherein:
R^6 is (D)- or (L)- Phe;
R^7 is Tyr;
R^8 is (D)Trp, (D)1Nal, or (D)2Nal;
R^{10} is Val;
R^{11} is Gly;
R^{12} is Thr, 1Nal, or 2Nal; and
Y is amide.

9. The backbone cyclized somatostatin analog of claim 1 having the general formula 13:



Formula No. 13

wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)- 1Nal or (D)- or (L)- 2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe; and

Y^2 is thioether, thioester or disulfide.

10. The backbone cyclized somatostatin analog of claim 9 wherein:

R^6 is Phe;

R^7 is Trp;

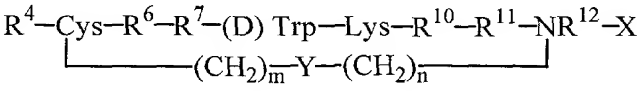
R^{10} is Thr;

R^{11} is Phe;

R^{12} is Gly; and

Y^2 is disulfide.

11. The backbone cyclized somatostatin analog of claim 1 having the general formula 14:



Formula No. 14

wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^4 is (D)- or (L)-Phe or Tyr;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)- 1Nal or (D)- or (L)- 2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe; and

Y^2 is thioether, thioester or disulfide.

12. The backbone cyclized somatostatin analog of claim 11 wherein:

R^4 is (D)Phe;

R^6 is Phe;

R^7 is Trp;

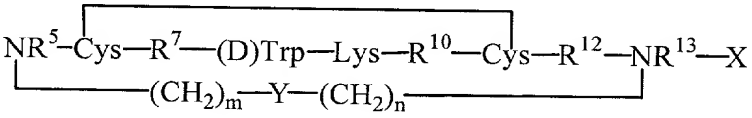
R^{10} is Thr;

R^{11} is Phe;

R^{12} is Gly; and

Y^2 is disulfide.

13. The backbone cyclized somatostatin analog of claim 1 having the general formula 15:



Formula No. 15

wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^5 is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)- 1Nal or (D)- or (L)- 2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{12} is Gly, Val, or (D)- or (L)-Phe;

R^{13} is (D)- or (L)-Phe or (D)- or (L)-Ala; and

Y^2 is amide, thioether, thioester or disulfide.

14. The backbone cyclized somatostatin analog of claim 13 wherein:

R^5 is Phe;

R^7 is Phe;

R^{10} is Thr;
R^{12} is Gly, Val, or (D)- or (L)-Phe;
R^{13} is Phe; and
Y^2 is amide.

15. The backbone cyclized somatostatin analog of claim 1 having the formula:

Phe(N2)-Tyr-(D)2Nal-Lys-Val-Gly(C2)-Thr-X;
Phe(N2)-Tyr-(D)Trp-Lys-Val-Gly(C2)-2Nal-X;
Phe(N2)-Tyr-(D)Trp-Lys-Val-Val-Gly(C2)-X;
Phe(N2)-Tyr-(D)Trp-Lys-Ser-2Nal-Gly(C2)-X;
Phe(N2)-Phe-(D)Trp-Lys-Thr-2Nal-Gly(C2)-X;
GABA*-Phe-Trp-(D)Trp-Lys-Thr-Phe-Gly(C3)-X;
Cys*-Phe-Trp-(D)Trp-Lys-Thr-Phe-Gly(S2)-X;
Phe(C3)-Cys*-Phe-(D)Trp-Lys-Thr-Cys*-Phe-Phe(N3)-X;
(D)Phe-Cys*-Phe-Trp-(D)Trp-Lys-Thr-Phe-Gly(S2)-X; or
Galactose-Dab*-Phe-Trp-(D)Trp-Lys-Thr-Phe-Gly(C3)-X;

wherein X designates a terminal carboxy acid, amide, or alcohol group; the asterisk denotes that the bridging group is connected between the N^{α}-ω-functionalized derivative of an amino acid and the N-terminus of the peptide or the side chain of the Cys residue.

16. A pharmaceutical composition comprising a backbone cyclized somatostatin analog according to claim 1 and a pharmaceutically acceptable carrier.

17. The composition according to claim 16 wherein the backbone cyclic analog is selective for one somatostatin receptor subtypes.

18. The composition according to claim 16 wherein the backbone cyclic analog is selective for two somatostatin receptor subtypes.

19. A method for treating disorders selected from the group consisting of atherosclerosis, autoimmune diseases, cancers, diabetic-associated complications, endocrine disorders, inflammation, gastrointestinal disorders, pancreatitis, post-surgical pain, and restenosis comprising administering to a mammal in need thereof a pharmaceutical

composition comprising a therapeutically effective amount of a backbone cyclized somatostatin analog according to claim 1.

20. The method according to claim 19 wherein the backbone cyclic analog is selective for one somatostatin receptor subtype.

21. The method according to claim 19 wherein the backbone cyclic analog is selective for two somatostatin receptor subtypes.

22. A method for diagnosing cancer comprising administration of a backbone cyclized somatostatin analog of claim 1.

23. The method according to claim 22 wherein the backbone cyclic analog is used for imaging the existence of metastases.

24. The method according to claim 22 wherein the backbone cyclic analog is labeled with a detectable probe.